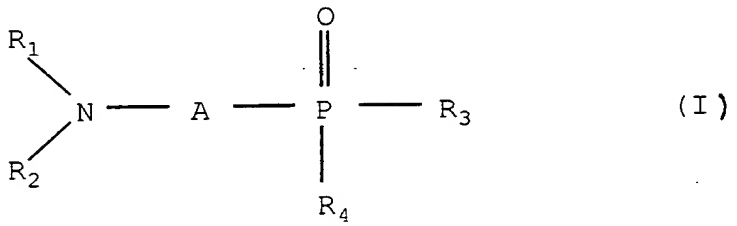
Claims

1. Use of organophosphorus compounds of the general formula (I)



(I)

in which R_1 and R_2 are identical or different and are selected from the group consisting of hydrogen, substituted and unsubstituted alkyl, substituted and unsubstituted hydroxyalkyl, substituted and unsubstituted alkenyl, substituted and unsubstituted alkynyl, substituted and unsubstituted aryl, substituted and unsubstituted acyl, substituted and unsubstituted cycloalkyl, substituted and unsubstituted aralkyl, substituted and unsubstituted heterocyclic residue, halogen, OX_1 and OX_2,

wherein X_1 and X_2 may be identical or different and are selected from the group consisting of hydrogen, substituted and unsubstituted alkyl, substituted and unsubstituted hydroxyalkyl, substituted and unsubstituted alkenyl, substituted and unsubstituted alkynyl, substituted and unsubstituted aryl, substituted and unsubstituted acyl, substituted and unsubstituted cycloalkyl, substituted and unsubstituted aralkyl, substituted and unsubstituted heterocyclic residue,

A is selected from the group consisting of an alkylene residue, an alkenyl residue and a hydroxyalkylene residue,

R_3 is selected from the group consisting of hydrogen, substituted and unsubstituted alkyl, substituted and unsubstituted hydroxyalkyl, substituted and unsubstituted aryl, substituted and unsubstituted acyl, substituted and unsubstituted aralkyl, substituted and unsubstituted alkenyl, substituted and unsubstituted alkynyl, substituted and unsubstituted cycloalkyl, substituted and unsubstituted heterocyclic residue, halogen,

R_4 is selected from the group consisting of hydrogen, substituted and unsubstituted alkyl, substituted and unsubstituted hydroxyalkyl, substituted

and unsubstituted aryl, substituted and unsubstituted acyl, substituted and unsubstituted aralkyl, substituted and unsubstituted alkenyl, substituted and unsubstituted alkynyl, substituted and unsubstituted cycloalkyl, substituted and unsubstituted heterocyclic residue, halogen, OX_4,

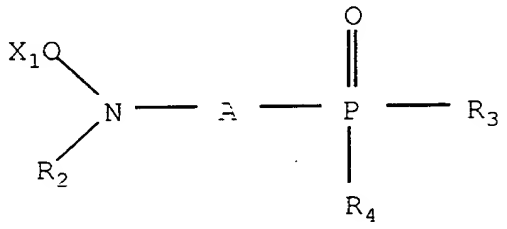
wherein X_4 is selected from the group consisting of hydrogen, substituted and unsubstituted alkyl, substituted and unsubstituted hydroxyalkyl, substituted and unsubstituted aryl, substituted and unsubstituted aralkyl, substituted and unsubstituted alkenyl, substituted and unsubstituted alkynyl, substituted and unsubstituted cycloalkyl, substituted and unsubstituted heterocyclic residue, a silyl, a cation of an organic and inorganic base, in particular of a metal of main group I, II or III of the periodic system, ammonium, substituted ammonium and ammonium compounds which are derived from ethylenediamine or amino acids,

and pharmaceutically acceptable salts, esters and amides and salts of the esters, or alternatively compounds which, on administration, provide the compounds to be used according to the invention as metabolites or breakdown products,

for the production of pharmaceutical preparations for the therapeutic and prophylactic treatment of infections in humans and animals caused by parasites, fungi, viruses and bacteria selected from the group consisting of bacteria of the family *Propionibacteriaceae*, in particular of the genus *Propionibacterium*, in particular the species *Propionibacterium acnes*, bacteria of the family *Actinomycetaceae*, in particular of the genus *Actinomyces*, bacteria of the genus *Cornynebacterium*, in particular the species *Corynebacterium diphtheriae* and *Corynebacterium pseudotuberculosis*, bacteria of the family *Mycobacteriaceae*, of the genus *Mycobacterium*, in particular the species *Mycobacterium leprae*, *Mycobacterium tuberculosis*, *Mycobacterium bovis* and *Mycobacterium avium*, bacteria of the family *Chlamydiaceae*, in particular the species *Chlamydia trachomatis* and *Chlamydia psittaci*, bacteria of the genus *Listeria*, in particular the species *Listeria monocytogenes*, bacteria of the species *Erysipelthrix rhusiopathiae*, bacteria of the genus *Clostridium*, bacteria of the genus *Yersinia*, the species

Yersinia pestis, *Yersinia pseudotuberculosis*, *Yersinia enterocolitica* and *Yersinia ruckeri*, bacteria of the family *Mycoplasmataceae*, of the genera *Mycoplasma* and *Ureaplasma*, in particular the species *Mycoplasma pneumoniae*, bacteria of the genus *Brucella*, bacteria of the genus *Bordetella*, bacteria of the genus *Campylobacter*, in particular the species *Campylobacter jejuni*, *Campylobacter coli* and *Campylobacter fetus*, bacteria of the genus *Helicobacter*, in particular the species *Helicobacter pylori*, bacteria of the families *Spirochaetaceae* and *Leptospiraceae*, in particular the genera *Treponema*, *Borrelia* and *Leptospira*, in particular *Borrelia burgdorferi*, bacteria of the genus *Actinobacillus*, bacteria of the family *Legionellaceae*, of the genus *Legionella*, bacteria of the family *Rickettsiaceae* and the family *Bartonellaceae*, bacteria of the genera *Nocardia* and *Rhodococcus*, bacteria of the genus *Dermatophilus*, and as a fungicide, bactericide and herbicide in plants.

2. Use according to claim 1, characterised in that the organophosphorus compounds are of the formula (II)



(II)

wherein

X_1 is selected from the group consisting of hydrogen, substituted or unsubstituted acyl, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclic residue;

R_2, R_3, R_4 and A have the same meaning as in formula (I).

3. Use according to claim 2,

characterised in that

R_2 is an acyl residue, in particular a formyl or acetyl residue,

R_3 is selected from the group consisting of hydrogen, methyl and ethyl,
R_4 is selected from the group consisting of hydrogen, methyl, ethyl and OX_4,
X_4 is selected from the group consisting of hydrogen, sodium, potassium, methyl and ethyl,
X_1 is H
and A is selected from the group consisting of alkylene, alkenylene or hydroxyalkylene.

4. Use according to one of the preceding claims, characterised in that A forms a chain of three carbon atoms between the phosphorus atom and the nitrogen atom.

5. Use according to claim 2,
characterised in that
X_4 is selected from the group consisting of hydrogen, ammonium and metals of main groups I and II of the periodic system, preferably sodium, potassium, calcium or magnesium, ammonium compounds, which are derived from ethylenediamine or amino acids, preferably ethanolamine, ethylenediamine, N,N-dibenzylethylenediamine and arginine.

Use according to one of claims 2 to 5,
characterised in that
R_2 is an acyl residue and A an alkylene residue, wherein R_2 is preferably formed by formyl or acetyl and A preferably by propylene, propenylene and hydroxypropylene.

7. Use according to one of the preceding claims for the production of pharmaceutical preparations for the treatment of infections caused by bacteria, viruses, fungi or uni- or multicellular parasites.

8. Use according to claim 7 for the production of pharmaceutical preparations for the treatment of infections caused by bacteria selected from the group

consisting of bacteria of the family *Propionibacteriaceae*, in particular of the genus *Propionibacterium*, in particular the species *Propionibacterium acnes*, bacteria of the family *Actinomycetaceae*, in particular of the genus *Actinomyces*, bacteria of the genus *Cornynebacterium*, in particular the species *Corynebacterium diphtheriae* and *Corynebacterium pseudotuberculosis*, bacteria of the family *Mycobacteriaceae*, of the genus *Mycobacterium*, in particular the species *Mycobacterium leprae*, *Mycobacterium tuberculosis*, *Mycobacterium bovis* and *Mycobacterium avium*, bacteria of the family *Chlamydiaceae*, in particular the species *Chlamydia trachomatis* and *Chlamydia psittaci*, bacteria of the genus *Listeria*, in particular the species *Listeria monocytogenes*.

9. Use according to claim 7 for the production of pharmaceutical preparations for the treatment of infections caused by viruses selected from the group consisting of *Parvoviridae*, in particular parvoviruses, dependoviruses, densoviruses, *Adenoviridae*, in particular adenoviruses, mastadenoviruses, aviadenoviruses, viruses of the genus *Papovaviridae*, in particular papovaviruses, in particular papillomaviruses ("wart" viruses), polyomaviruses, in particular JC virus, BK virus and miopapovaviruses, viruses of the genus *Herpesviridae*, in particular herpes simplex viruses, varicella-zoster viruses, human cytomegalovirus, Epstein-Barr viruses, human herpesvirus 6, human herpesvirus 7, human herpesvirus 8, viruses of the genus *Poxiviridae*, in particular poxviruses, orthopoxviruses, parapoxviruses, molluscum contagiosum virus, aviviruses, capriviruses, leporipoxviruses, primarily hepatotropic viruses, in particular hepatitisviruses, such as hepatitis A viruses, hepatitis B viruses, hepatitis C viruses, hepatitis D viruses, hepatitis E viruses, hepatitis F viruses, hepatitis G viruses, hepadnaviruses, in particular all hepatitisviruses, such as hepatitis B virus, hepatitis D viruses, viruses of the genus *Picornaviridae*, in particular picornaviruses, all enteroviruses, all polioviruses, all coxsackieviruses, all echoviruses, all rhinoviruses, hepatitis A virus, aphthoviruses, viruses of the genus *Calciviridae*, in particular hepatitis E viruses, viruses of the genus *Reoviridae*,

orbiviruses, rotaviruses, viruses of the genus *Togaviridae*, in particular togaviruses, alphaviruses, rubiviruses, pestiviruses, rubellavirus, viruses of the genus *Flaviviridae*, in particular flaviviruses, FSME virus, hepatitis C virus, viruses of the genus *Orthomyxoviridae*, in particular influenza viruses, viruses of the genus *Paramyxoviridae*, in particular paramyxoviruses, morbillivirus, pneumovirus, measles virus, mumps virus, viruses of the genus *Rhabdoviridae*, in particular rhabdoviruses, rabies virus, lyssavirus, vascular stomatitisvirus, viruses of the genus *Coronaviridae*, in particular coronaviruses, viruses of the genus *Bunyaviridae*, in particular bunyaviruses, nairovirus, phlebovirus, uukuvirus, hantavirus, hantaan virus, viruses of the genus *Arenaviridae*, in particular arenaviruses, lymphocytic choriomeningitis virus, viruses of the genus *Retroviridae*, in particular retroviruses, all HTL viruses, human T-cell leukaemia virus, oncornaviruses, spumaviruses, lentiviruses, all HI viruses, viruses of the genus *Filoviridae*, in particular Marburg and Ebola virus, slow viruses, prions, oncoviruses and leukaemia viruses.

10. Use according to claim 7 for the production of pharmaceutical preparations for the prevention and treatment of infections caused by unicellular parasites, namely the causative organisms of malaria and sleeping sickness and of Chagas' disease, toxoplasmosis, amoebic dysentery, leishmaniases, trichomoniasis, pneumocystosis, balantidiasis, cryptosporidiosis, sarcocytosis, acanthamoebosis, naeglerosis, coccidiosis, giardiasis and lambliasis.

11. Use according to one of claims 1 to 10 characterised in that the pharmaceutical preparation comprises an effective content of at least one organophosphorus compound and a pharmaceutically acceptable excipient.

12. Use according to claim 11, characterised in that the pharmaceutical preparation comprises at least one further pharmaceutical active substance.

13. Use according to claim 12, characterised in that the pharmaceutical preparation moreover comprises one or more constituents of the group consisting of sulfonamide, sulfadoxine, artemisinin, atovaquone, quinine, chloroquine, hydroxychloroquine, mefloquine, halofantrine, pyrimethamine, armesin, tetracyclines, doxycycline, proguanil, metronidazole, praziquantel, niclosamide, mebendazole, pyrantel, tiabendazole, diethylcarbazine, piperazine, pyrivinium, metrifonate, oxamniquine, bithionol and suramin.

14. Use according to claim 12, characterised by one or more constituents of the group consisting of penicillins, benzylpenicillin (penicillin G), phenoxypenicillins, isoxazolylpenicillins, aminopenicillins, ampicillin, amoxicillin, bacampicillin, carboxypenicillin, ticarcillin, temocillin, acylaminopenicillins, azlocillin, mezlocillin, piperacillin, apalcillin, mecillinam, cephalosporins, cefazolin group, cefuroxime group, cefoxitin group, cefoxitin, cefotetan, cefmetazole, latamoxef, flomoxef, cefotaxime group, cefozidime, ceftazidime group, ceftazidime, cefpirome, cefepime, conventional cephalosporins, cefsulodin, cefoperazone, oral cephalosporins of the cephalexin group, loracarbef, cefprozil, new broad-spectrum oral cephalosporins, cefixime, cefpodoxime-proxetil, cefuroxime-axetil, cefetamet, cefotiam-hexetil, cefdinir, ceftibuten, other β-lactam antibiotics, carbapenem, imipenem/cilastatin, meropenem, biapenem, aztreonam, β-lactamase inhibitors, clavulanic acid/amoxicillin, clavulanic acid/ticarcillin, sulbactam/ampicillin, tazobactam/piperacillin, tetracyclines, oxytetracycline, rolitetracycline, doxycycline, minocycline, chloramphenicol, aminoglycosides, gentamicin, tobramycin, netilmicin, amikacin, spectinomycin, macrolides, erythromycin, clarithromycin, roxithromycin, azithromycin, dirithromycin, spiramycin, josamycin, lincosamides, clindamycin, fusidic acid, glycopeptide antibiotics, vancomycin, teicoplanin, pristinamycin derivatives, fosfomycin, antimicrobial folic acid antagonists, sulfonamides, co-trimoxazole, trimethoprim, other diaminopyrimidine-sulfonamide combinations, nitrofurans, nitrofurantoin, nitrofurazone, gyrase inhibitors (quinolones), norfloxacin, ciprofloxacin,

ofloxacin, sparfloxacin, enoxacin, fleroxacin, pefloxacin, lomefloxacin, Bay Y3118, nitroimidazoles, antimycobacterial agents, isoniazid, rifampicin, rifabutin, ethambutol, pyrazinamide, streptomycin, capreomycin, prothionamide, terizidone, dapsone, clofazimine, topical antibiotics, bacitracin, tyrothricin, polymyxins, neomycin, kanamycin, paromomycin, mupirocin, antiviral agents, acyclovir, ganciclovir, azidothymidine, didanosine, zalcitabine, thiacytidine, stavudine, ribavirin, idoxuridine, trifluridine, foscarnet, amantadine, interferons, tibol derivatives, proteinase inhibitors, antimycotics, polyenes, amphotericin B, nystatin, natamycin, azoles, azoles for septic therapy, miconazole, ketoconazole, itraconazole, fluconazole, UK-109,496, azoles for topical use, clotrimazole, econazole, isoconazole, oxiconazole, bifonazole, flucytosine, griseofulvin, ciclopirox olamine, tolnafnate, naftifine, terbinafine, amorolfine, anthraquinones, betulinic acid, semianthraquinones, xanthones, naphthoquinones, arylamino alcohols, quinine, quinidines, mefloquine, halofantrine, chloroquine, amodiaquine, acridine, benzonaphthyridine, mepacrine, pyronaridine, dapsone, sulfonamides, sulfadoxine, sulfalenes, trimethoprim, proguanil, chlorproguanil, diaminopyrimidines, pyrimethamine, primaquine, aminoquinolines, WR 238,605, tetracycline, doxycycline, clindamycin, norfloxacin, ciprofloxacin, ofloxacin, artemisinin, dihydroartemisinin, 10b artemether, arteether, atresunate, atovaquone, suramin, melarsoprol, nifurtimox, stibogluconate sodium, pentamidine, amphotericin B, metronidazole, clioquinol, mebendazole, niclosamide, praziquantel, pyrantel, tiabenzazole, diethylcarbamazine, ivermectin, bithionol, oxamniquine, metrifonate, piperazine, embonate.

Translator's comments:

p.14, final para.-p.15, para.1: "vorliegen" has been assumed to have been omitted from after the list of antibiotics *etc.* and "be present" has accordingly been inserted in the translation.

p.19, claim 5: "aus der" has been assumed to have been omitted from before "Gruppe" and the phrase has accordingly been translated as "from the group", *c.f.* p.19, claim 3.